

RUSH
2 Mo. AMENDED
SEARCH REQUEST FORM

Access DB# 109864

Scientific and Technical Information Center

Requester's Full Name: Maury Audet Examiner #: 79808 Date: 12/5/03
Art Unit: 1654 Phone Number: 305-5039 Serial Number: 04/909062
Mail Box & Bldg/Room Locat.: CM1-11D13; 11D04 Results Format Preferred: PAPER

If more than one search is submitted, please prioritize searches in order of need.

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: _____

Inventors (please provide full names): _____

Earliest Priority Filing Date: 7/21/00

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Please search the 5 closely related parent compounds (core 1st)
if free of the art, no more searching needed. If find core, then
search for different R-group coming off.

Tx
MAURY

[Note - 2 previous searches of elected compound # 3 (by Susan Hester)
showed compound # 3 to be free of the art. Applicant is now seeking
to report all compounds # 1, 2 & 3-4. Basis for updated search
needed (Susan is no longer searching).]

STAFF USE ONLY

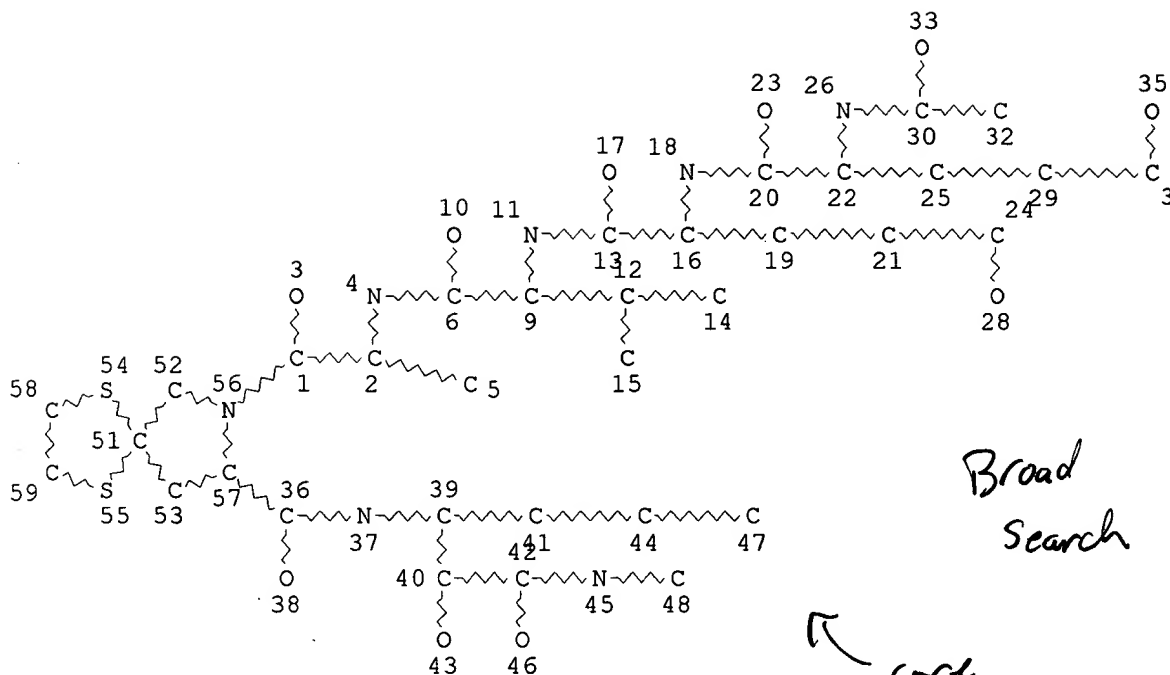
	Type of Search	Vendors and cost where applicable
Searcher: _____	NA Sequence (#) _____	STN <u>302.75</u>
Searcher Phone #: _____	AA Sequence (#) _____	Dialog _____
Searcher Location: _____	Structure (#) <u>1</u>	Questel/Orbit _____
Date Searcher Picked Up: <u>12/5</u>	Bibliographic _____	Dr.Link _____
Date Completed: <u>12/5</u>	Litigation _____	Lexis/Nexis _____
Searcher Prep & Review Time: <u>20</u>	Fulltext _____	Sequence Systems _____
Clerical Prep Time: _____	Patent Family _____	WWW/Internet _____
Online Time: <u>16</u>	Other _____	Other (specify) _____

*Only
Apple's
work*

=> d que 116

L12

STR



*Broad
Search*

*core
structure*

Page 1-A

1

Page 1-B

NODE ATTRIBUTES:

NSPEC IS RC AT 5

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 53

STEREO ATTRIBUTES: NONE

L14 8 SEA FILE=REGISTRY SSS FUL L12

L16 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L14

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L16 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:90074 HCAPLUS

DOCUMENT NUMBER: 136:151440

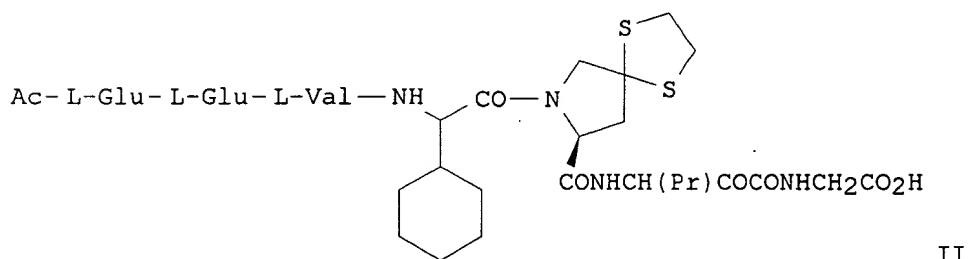
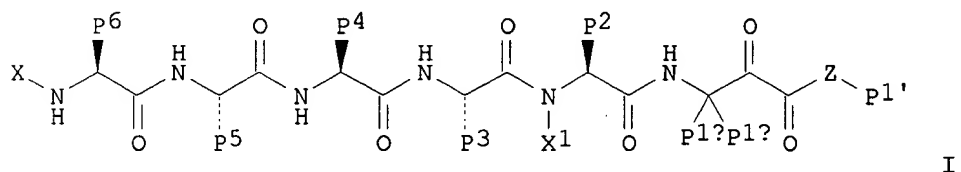
TITLE: Preparation of novel peptides as NS3-serine protease inhibitors of hepatitis C virus

INVENTOR(S): Saksena, Anil K.; Girijavallabhan, Viyyoor Moopil; Lovey, Raymond G.; Jao, Edwin E.; Bennett, Frank;

← Inventors

McCormick, Jinping; Wang, Haiyan; Pike, Russell E.;
 Bogen, Stephane L.; Liu, Yi-Tsung; Arasappan, Ashok;
 Parekh, Tejal; Pinto, Patrick A.; Njoroge, F. George;
 Ganguly, Ashit K.; Brunck, Terence K.; Kemp, Scott
 Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita
 Schering Corporation, USA; Corvas International, Inc.
 PCT Int. Appl., 197 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008256	A2	20020131	WO 2001-US22826	20010719
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG US 2003036501 A1 20030220 US 2001-909062 20010719 EP 1301528 A2 20030416 EP 2001-959046 20010719 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR PRIORITY APPLN. INFO.: US 2000-220109P P 20000721 WO 2001-US22826 W 20010719 OTHER SOURCE(S): MARPAT 136:151440 GI				



AB Novel peptides I [Z = O, NH or substituted imino; X = (un)substituted alkylsulfonyl, heterocyclylsulfonyl, heterocyclylalkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, alkylcarbonyl, heterocyclylcarbonyl, heterocyclylalkylcarbonyl, arylcarbonyl, heteroarylcabonyl, alkoxy carbonyl, heterocyclcyloxy carbonyl, aryloxy carbonyl, heteroaryloxy carbonyl, alkyaminocarbonyl, heterocyclylaminocarbonyl, arylaminocarbonyl, or heteroarylamino carbonyl; X1 = H, alkyl, arylmethyl; P1a, P1b, P2-P6 = H, (un)substituted alkyl, alkenyl, cycloalkyl, heterocyclyl, cycloalkylalkyl, heterocyclylalkyl, aryl, heteroaryl, arylalkyl, or heteroarylalkyl; P1a and P1b may optionally be joined to each other to form a spirocyclic or spiroheterocyclic ring contg. 0-6 oxygen, nitrogen, sulfur, or phosphorus atoms; P1' = H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, or heteroarylalkyl] having HCV protease inhibitory activity are disclosed. Thus, peptide II was prepd. via peptide coupling in soln. and showed $K_i = 1-100$ nM for inhibition of HCV protease.

IT 393520-87-1P 393520-89-3P 393520-91-7P
393521-72-7P 394203-33-9P

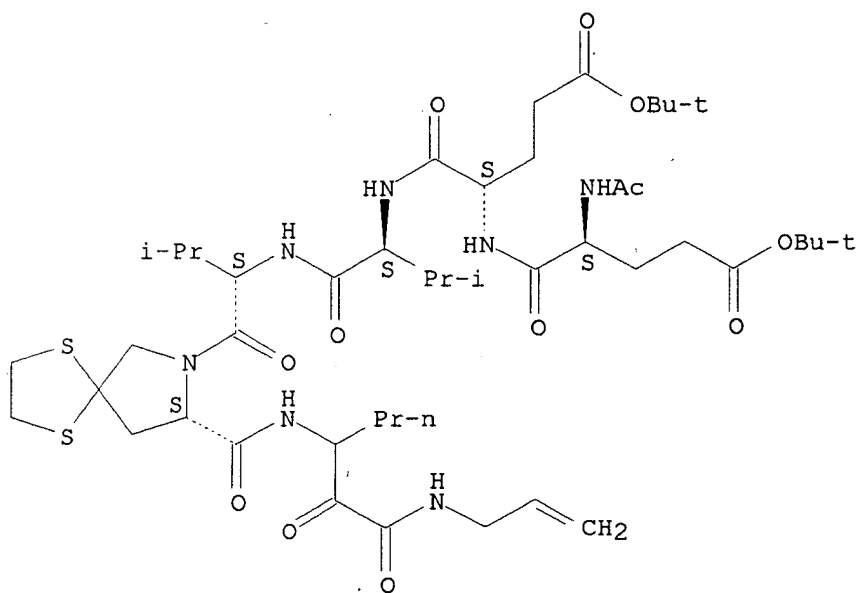
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

RN 393520-87-1 HCAPLUS

CN 1,4-Dithia-7-azaspiro[4.4]nonane-8-carboxamide, N-acetyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl-L-valyl-L-valyl-N-[1-[oxo(2-propenylamino)acetyl]butyl]-, bis(1,1-dimethylethyl) ester, (8S)- (9CI) (CA INDEX NAME)

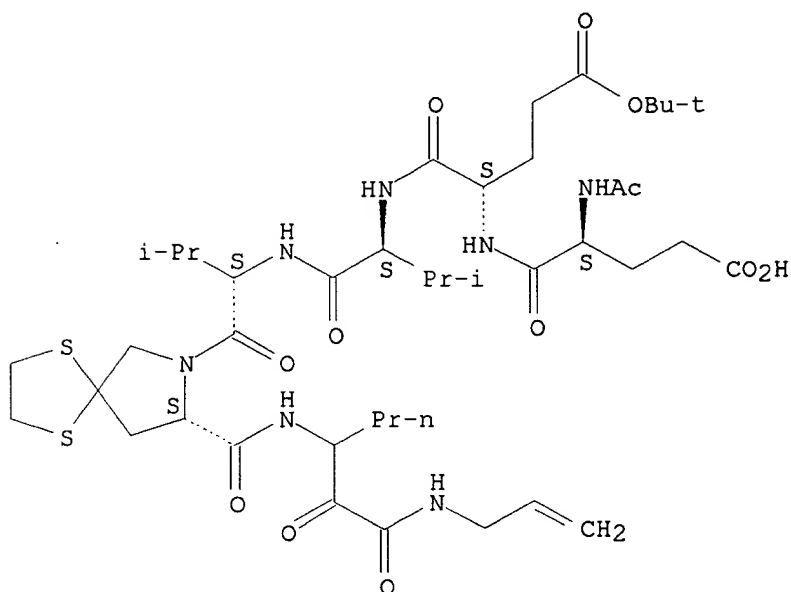
Absolute stereochemistry.



RN 393520-89-3 HCAPLUS

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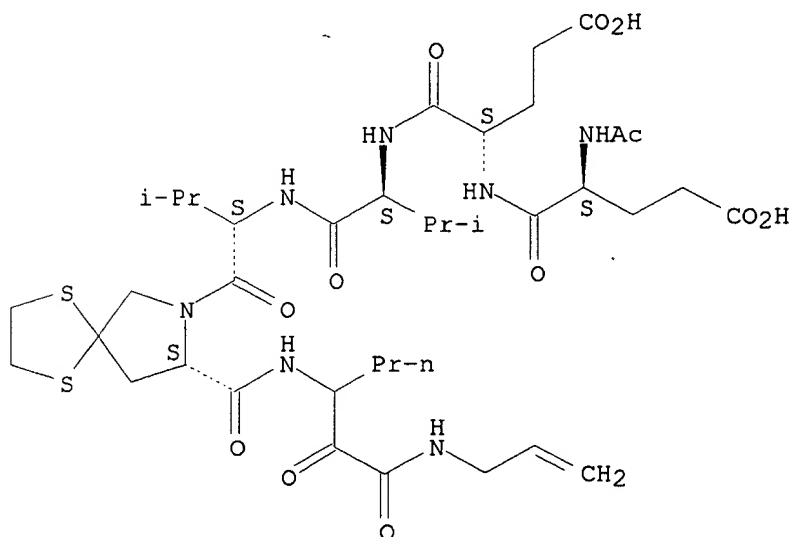
Absolute stereochemistry.



RN 393520-91-7 HCAPLUS

CN 1,4-Dithia-7-azaspiro[4.4]nonane-8-carboxamide, N-acetyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl-L-valyl-L-valyl-N-[1-[oxo(2-propenylamino)acetyl]butyl]-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

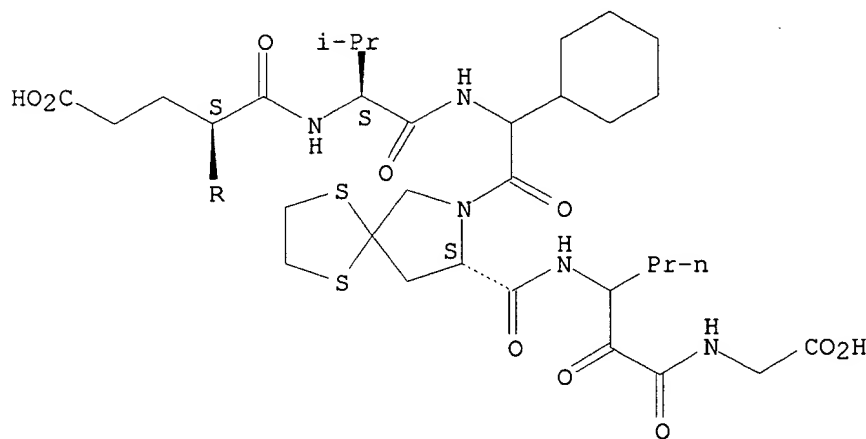


RN 393521-72-7 HCAPLUS

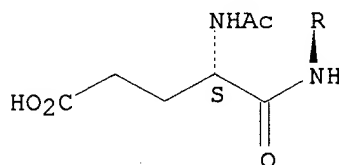
CN Glycine, N-acetyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl-L-valyl-2-cyclohexylglycyl-(8S)-1,4-dithia-7-azaspiro[4.4]nonane-8-carbonyl-3-amino-2-oxohexanoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



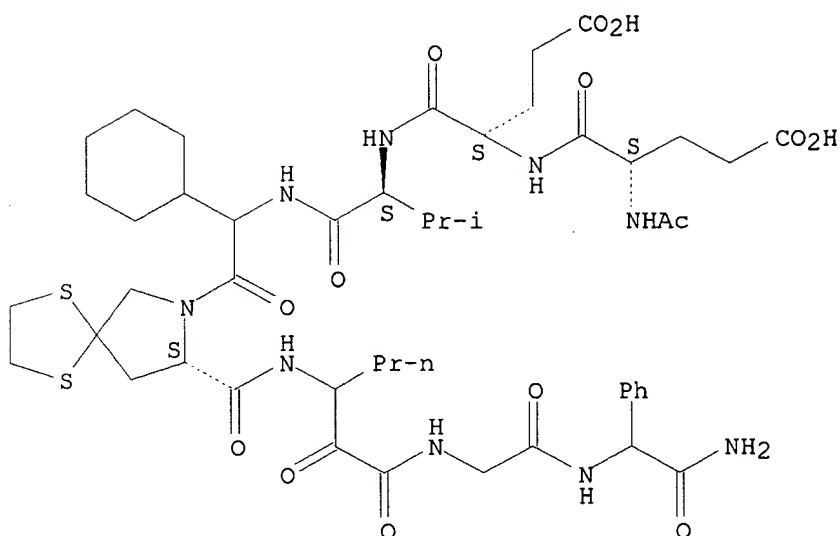
PAGE 2-A



RN 394203-33-9 HCAPLUS

CN Glycinamide, N-acetyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl-L-valyl-2-cyclohexylglycyl-(8S)-1,4-dithia-7-azaspiro[4.4]nonane-8-carbonyl-3-amino-2-oxohexanoylglycyl-2-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 393524-55-5P 393524-57-7P 394203-35-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

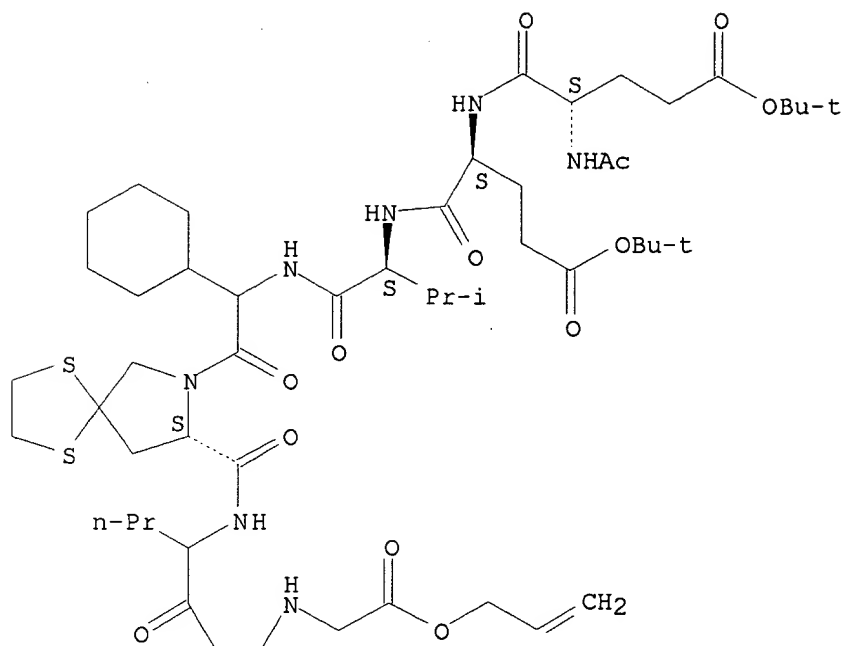
(prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

RN 393524-55-5 HCAPLUS

CN Glycine, N-acetyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl-L-valyl-2-cyclohexylglycyl-(8S)-1,4-dithia-7-azaspiro[4.4]nonane-8-carbonyl-3-amino-2-oxohexanoyl-, 1,2-bis(1,1-dimethylethyl) 7-(2-propenyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



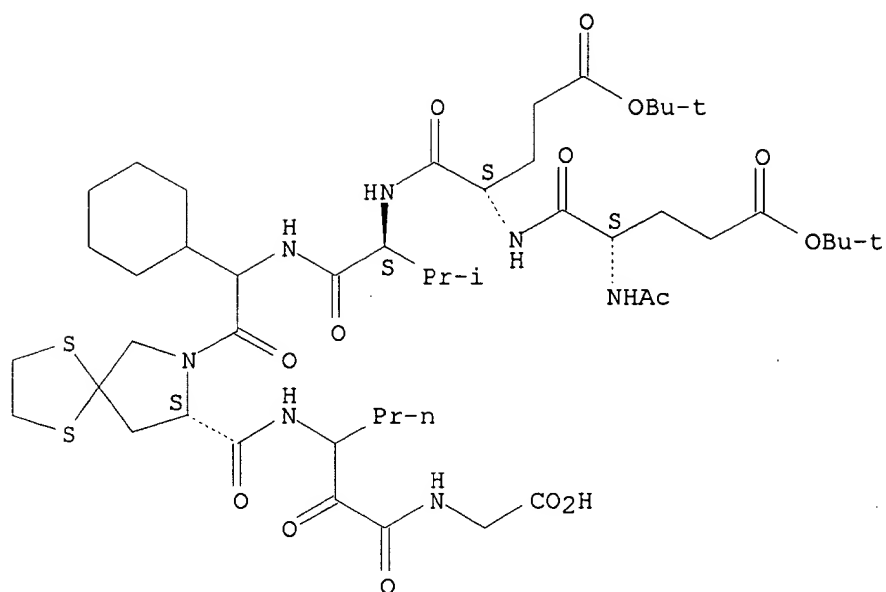
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RN 393524-57-7 HCAPLUS

CN Glycine, N-acetyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl-L-valyl-2-cyclohexylglycyl-(8S)-1,4-dithia-7-azaspiro[4.4]nonane-8-carbonyl-3-amino-2-oxohexanoyl-, 1,2-bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

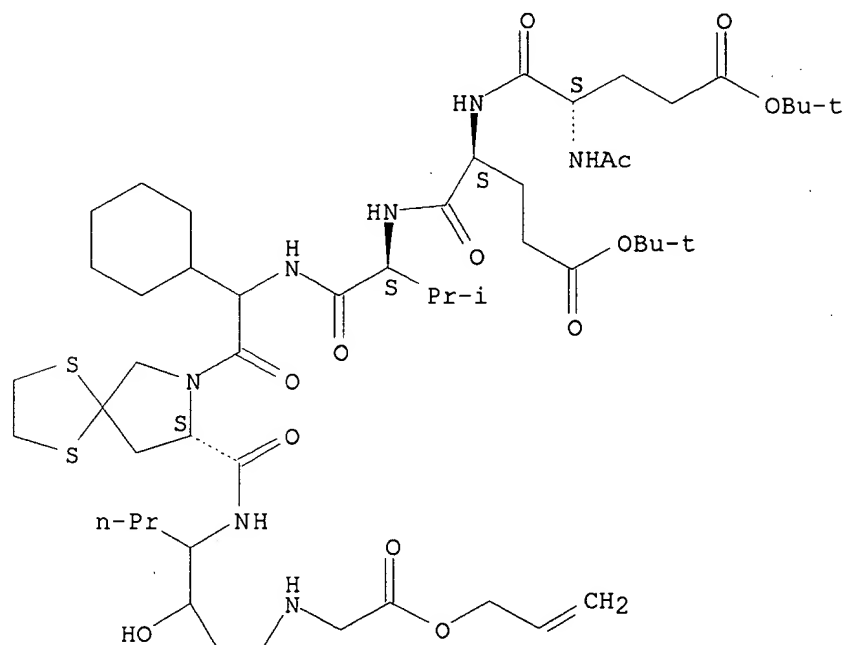


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(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



Audet 09/909,062

December 5, 2003

PAGE 2-A

Y
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O

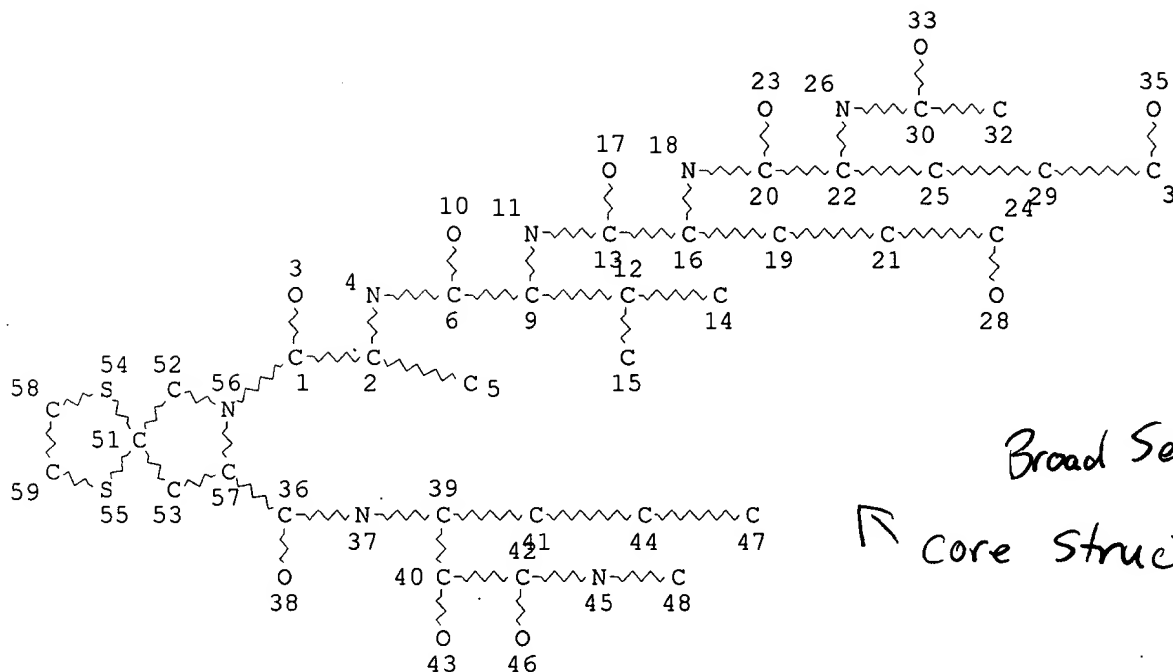
MARPAT

Audet 09/909,062

December 5, 2003

L12

STR



Broad Search
 ↖ Core Structure

Page 1-A

1

Page 1-B

NODE ATTRIBUTES:

NSPEC IS RC AT 5

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 53

STEREO ATTRIBUTES: NONE

L18 2 SEA FILE=MARPAT SSS FUL L12

L19 1 SEA FILE=MARPAT ABB=ON PLU=ON L18/COM

=> d l19 ibib abs fqhit

L19 ANSWER 1 OF 1 MARPAT COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 136:151440 MARPAT

TITLE: Preparation of novel peptides as NS3-serine protease inhibitors of hepatitis C virus

INVENTOR(S): Saksena, Anil K.; Girijavallabhan, Viyyoor Moopil; Lovey, Raymond G.; Jao, Edwin E.; Bennett, Frank; McCormick, Jinping; Wang, Haiyan; Pike, Russell E.; Bogen, Stephane L.; Liu, Yi-Tsung; Arasappan, Ashok;

Same Record as found in
 HCAPLUS

PATENT ASSIGNEE(S):

SOURCE:

Parekh, Tejal; Pinto, Patrick A.; Njoroge, F. George;
 Ganguly, Ashit K.; Brunck, Terence K.; Kemp, Scott
 Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita
 Schering Corporation, USA; Corvas International, Inc.
 PCT Int. Appl., 197 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

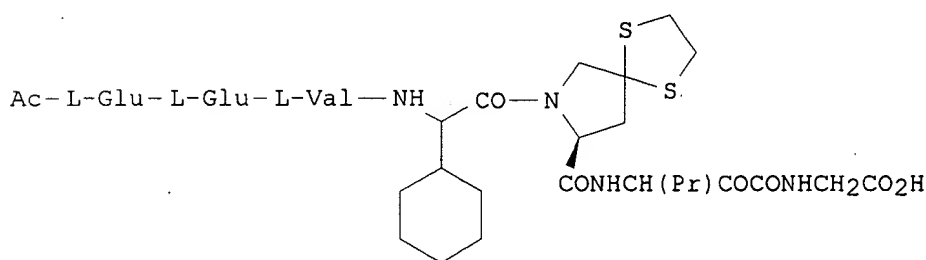
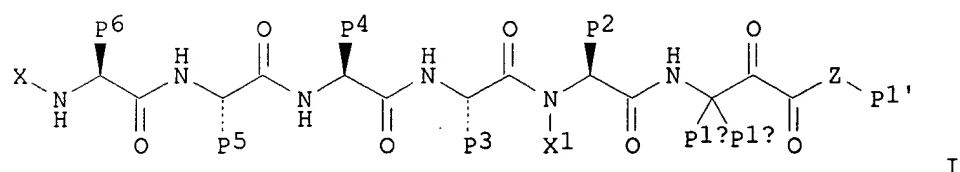
English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 2003036501	A1	20030220	US 2001-909062	20010719
EP 1301528	A2	20030416	EP 2001-959046	20010719
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRIORITY APPLN. INFO.:			US 2000-220109P	20000721
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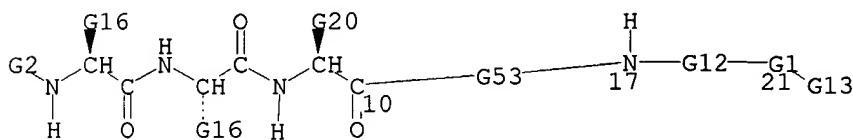
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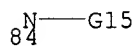
AB Novel peptides I [Z = O, NH or substituted imino; X = (un)substituted
 alkylsulfonyl, heterocyclysulfonyl, heterocyclylalkylsulfonyl,

arylsulfonyl, heteroarylsulfonyl, alkylcarbonyl, heterocyclylcarbonyl, heterocyclylalkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, alkoxy carbonyl, heterocyclyl oxy carbonyl, aryloxy carbonyl, heteroaryloxy carbonyl, alkyaminocarbonyl, heterocyclylaminocarbonyl, arylaminocarbonyl, or heteroarylaminocarbonyl; X1 = H, alkyl, arylmethyl; P1a, P1b, P2-P6 = H, (un)substituted alkyl, alkenyl, cycloalkyl, heterocyclyl, cycloalkylalkyl, heterocyclylalkyl, aryl, heteroaryl, arylalkyl, or heteroarylalkyl; P1a and P1b may optionally be joined to each other to form a spirocyclic or spiroheterocyclic ring contg. 0-6 oxygen, nitrogen, sulfur, or phosphorus atoms; P1' = H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, or heteroarylalkyl] having HCV protease inhibitory activity are disclosed. Thus, peptide II was prepd. via peptide coupling in soln. and showed $K_i = 1-100$ nM for inhibition of HCV protease.

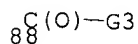
MSTR 1



G1 = 84

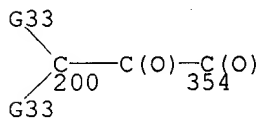


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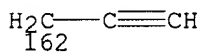


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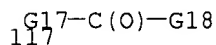
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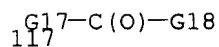


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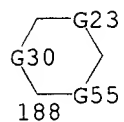


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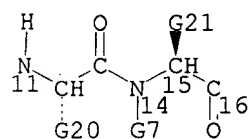




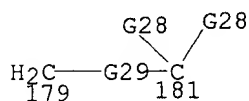
G17 = (1-4) CH₂
 G20 = Pr-i / cyclopropyl
 G29 = 188



G30 = S
 G33 = Pr-n
 G53 = 11-10 16-17



G55 = S
 G7 + G21 = 179-14 181-15



MPL: claim 1
 NTE: and pharmaceutically acceptable salts, solvates, derivatives and tautomers
 NTE: substitution is restricted
 NTE: also incorporates claim 16
 STE: enantiomers, stereoisomers, and rotomers

Update

L Number	Hits	Search Text	DB	Time stamp
3	2	200009543.pn.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/12/05 15:13
4	4	381216.pn.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/12/05 15:16
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6	0	381216.pn. and hepatitis	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/12/05 15:16
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17	10	Takemura.in. and hepatitis	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/12/05 15:34
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23	7	514/17.ccls. and protease and inhibitors and NS3	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/12/05 15:44
24	5	514/17.ccls. and protease and inhibitors and NS3 and HCV	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/12/05 15:45
25	7	514/17.ccls. and protease and inhibitors and HCV	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/12/05 15:46
26	258	435/6.ccls. and protease and inhibitors and HCV	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/12/05 15:46
27	21	435/6.ccls. and protease SAME inhibitors SAME HCV	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/12/05 15:46
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-	2	9817679.did.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 04:36
-	3151	"hepatitis C virus"	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 04:37

-	1333	"hepatitis C virus".ab.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 04:37
-	970	"hepatitis C virus".ti.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 04:38
-	1483	HCV.ab.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 04:38
-	134	"HCV protease"	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 04:39
-	52	"HCV protease".ab.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 04:39
-	121	"HCV protease" and inhibitor	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 04:39
-	32	"HCV protease".ab. and inhibitor.ab.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 04:40
-	6	"HCV inhibitor".ab.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 04:40
-	36	"NS3/NS4a"	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 04:44
-	0	"NS-3/NS4a"	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 04:44
-	11	"NS3/NS4a".ab.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 04:46
-	172	"HCV polypeptide"	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 04:45
-	93	"HCV peptide"	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 04:45
-	276	"HCV protein"	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 04:45
-	10	"NS3/NS4a".ab. and inhibitor.ab.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:08
-	0	"NS3/NS4a".ab. and inhibitor.ab. and 435/6.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:08
-	0	"NS3/NS4a".ab. and inhibitor.ab. and 435/5.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:09

-	0	"NS3/NS4a".ab. and 435/5.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:09
-	9	"NS3/NS4a" and 435/5.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:09
-	0	"NS3/NS4a".ab. and 435/6.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:09
-	0	"NS3/NS4a".ab. and 435/23.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:09
-	6	"NS3 protease" and inhibitor and 514/18.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:10
-	22	"NS3 protease" and inhibitor and 435/6.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:10
-	0	"NS3 protease".ab. and inhibitor.ab. and 435/6.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:10
-	2	"NS3 protease".ab. and inhibitor.ab. and 435/5.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:10
-	0	"NS3 protease".ab. and inhibitor.ab. and 435/6.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:11
-	0	"NS3 protease".ab. and inhibitor.ab. and 514/18.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:11
-	0	"NS3 protease".ab. and inhibitor.ab. and 514/16.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:11
-	0	"NS3 protease".ab. and inhibitor.ab. and 514/9.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:11
-	0	"NS3 protease".ab. and inhibitor.ab. and 514/160.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:11
-	0	"NS3 protease".ab. and inhibitor.ab. and 424/85.4.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:11
-	1	"NS3 protease".ab. and inhibitor.ab. and 530/324.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:12
-	0	"NS3 protease".ab. and inhibitor.ab. and 530/325.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:12
-	2	"NS3 protease".ab. and inhibitor.ab. and 530/326.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:13

-	2	"NS3 protease".ab. and inhibitor.ab. and 530/329.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:13
-	2	"NS3 protease".ab. and inhibitor.ab. and 530/332.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:13
-	2	"NS3 protease".ab. and inhibitor.ab. and 530/327.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:14
-	1	"NS3 protease".ab. and inhibitor.ab. and 530/328.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:14
-	2	"NS3 protease".ab. and inhibitor.ab. and 435/23.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:14
-	3	"NS3 protease".ab. and inhibitor and 435/5.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/03/20 05:15
-	7	8904669.pn.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/12/05 13:07
-	2	9817679.pn.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/12/05 13:08
-	2	200009558.pn.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/12/05 15:11